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clear.

1/5/07

10/531,495Z Yong Chu 1-5-2007

.\$%^STN;HighlightOn=;HighlightOff=;

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NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS 4	AUG 28	ADISCTI Reloaded and Enhanced
NEWS 5	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS 6	SEP 21	CA/CAplus fields enhanced with simultaneous left and right truncation
NEWS 7	SEP 25	CA(SM)/CAplus(SM) display of CA Lexicon enhanced
NEWS 8	SEP 25	CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS 9	SEP 25	CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS 10	SEP 28	CEABA-VTB classification code fields reloaded with new classification scheme
NEWS 11	OCT 19	LOGOFF HOLD duration extended to 120 minutes
NEWS 12	OCT 19	E-mail format enhanced
NEWS 13	OCT 23	Option to turn off MARPAT highlighting enhancements available
NEWS 14	OCT 23	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 15	OCT 23	The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded
NEWS 16	OCT 30	CHEMLIST enhanced with new search and display field
NEWS 17	NOV 03	JAPIO enhanced with IPC 8 features and functionality
NEWS 18	NOV 10	CA/CAplus F-Term thesaurus enhanced
NEWS 19	NOV 10	STN Express with Discover! free maintenance release Version 8.01c now available
NEWS 20	NOV 20	CAS Registry Number crossover limit increased to 300,000 in additional databases
NEWS 21	NOV 20	CA/CAplus to MARPAT accession number crossover limit increased to 50,000
NEWS 22	DEC 01	CAS REGISTRY updated with new ambiguity codes
NEWS 23	DEC 11	CAS REGISTRY chemical nomenclature enhanced
NEWS 24	DEC 14	WPIDS/WPINDEX/WPIX manual codes updated
NEWS 25	DEC 14	GBFULL and FRFULL enhanced with IPC 8 features and functionality
NEWS 26	DEC 18	CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role
NEWS 27	DEC 18	CA/CAplus patent kind codes updated
NEWS 28	DEC 18	MARPAT to CA/CAplus accession number crossover limit increased to 50,000
NEWS 29	DEC 18	MEDLINE updated in preparation for 2007 reload

NEWS 30 DEC 27 CA/CAPLUS enhanced with more pre-1907 records

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 15:00:25 ON 05 JAN 2007

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:00:45 ON 05 JAN 2007

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STRUCTURE FILE UPDATES: 4 JAN 2007 HIGHEST RN 916790-89-1

DICTIONARY FILE UPDATES: 4 JAN 2007 HIGHEST RN 916790-89-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

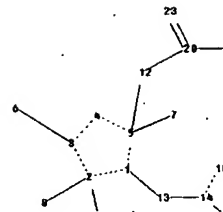
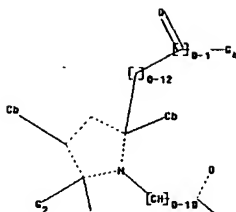
Please note that search-term pricing does apply when
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REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
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on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Documents and Settings\ychu\Desktop\Case\10531495\10531495X.str



chain nodes :

6 7 8 9 12 13 14 17 18 20 23 24

ring nodes :

1 2 3 4 5

chain bonds :

1-13 2-8 2-9 3-6 5-7 5-12 12-20 13-14 14-17 14-18 20-23 20-24

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 1-13 2-3 2-8 2-9 3-4 4-5 14-17 14-18 20-23 20-24

exact bonds :

3-6 5-7 5-12 12-20 13-14

G2:H,Ak

G3:C,N

G4:O,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 12:CLASS
13:CLASS 14:CLASS 17:CLASS 18:CLASS 20:CLASS 23:CLASS 24:CLASS

Generic attributes :

6:

Saturation : Unsaturated

7:

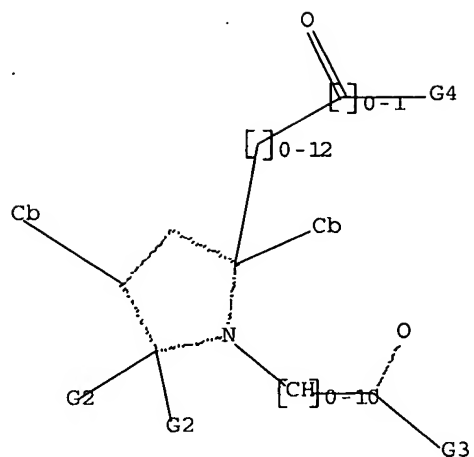
Saturation : Unsaturated

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



G1
G2 H, Ak
G3 C, N
G4 O, N

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 15:01:52 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 136724 TO ITERATE

1.5% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 2712637 TO 2756323
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 15:02:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2733890 TO ITERATE

23.8% PROCESSED 651366 ITERATIONS 112 ANSWERS
34.5% PROCESSED 942184 ITERATIONS 473 ANSWERS
36.4% PROCESSED 993987 ITERATIONS 473 ANSWERS
36.6% PROCESSED 1000000 ITERATIONS 473 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.54

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 2733890 TO 2733890

PROJECTED ANSWERS:

1186 TO

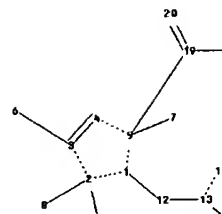
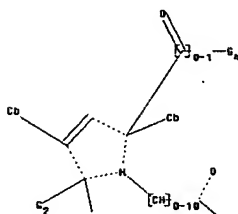
1400

L3

473 SEA SSS FUL L1

 \Rightarrow

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```
chain nodes :
```

6 7 8 9 12 13 16 17 19 20 21

ring nodes :

1 2 3 4 5

chain bonds :

1-12 2-8 2-9 3-6 5-7 5-19 12-13 13-16 13-17 19-21 19-20

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 1-12 2-3 2-8 2-9 3-4 4-5 13-16 13-17 19-21 19-20

exact bonds :

3-6 5-7 5-19 12-13

 $G2 : H, Ak$

G3 : C, N

G4 : O, N

Match level :

```
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:CLASS  9:CLASS 12:CLASS
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13:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS 21:CLASS
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Generic attributes :

6:

Saturation : Unsaturated

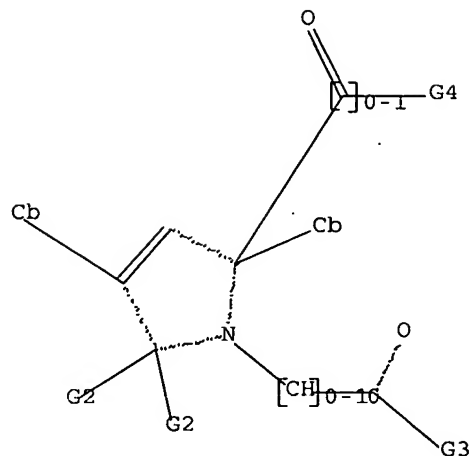
7:

Saturation : Unsaturated

L4 STRUCTURE UPLOADED

$\Rightarrow d$

L4 HAS NO ANSWERS
L4 STR



G1
G2 H, Ak
G3 C, N
G4 O, N

Structure attributes must be viewed using STN Express query preparation.

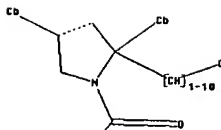
=> s 14
SAMPLE SEARCH INITIATED 15:04:43 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 99226 TO ITERATE

2.0% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 1965831 TO 2003209
PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L4

=>
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chain nodes :
 6 7 8 9 10 11 14
 ring nodes :
 1 2 3 4 5
 chain bonds :
 1-6 3-8 5-9 5-10 6-7 6-14 10-11
 ring bonds :
 1-2 1-5 2-3 3-4 4-5
 exact/norm bonds :
 1-2 1-5 1-6 2-3 3-4 4-5 6-7 6-14 10-11
 exact bonds :
 3-8 5-9 5-10 .

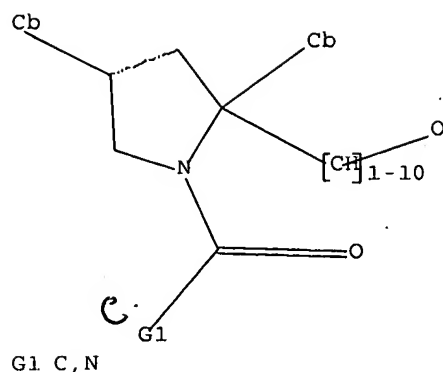
G1:C,N

Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:Atom 10:CLASS
 11:CLASS 14:CLASS
 Generic attributes :
 8:
 Saturation : Unsaturated
 9:
 Saturation : Unsaturated

L6 STRUCTURE UPLOADED

=> d
 L6 HAS NO ANSWERS
 L6 STR

10/531495



Structure attributes must be viewed using STN Express query preparation.

=> s l6

SAMPLE SEARCH INITIATED 15:12:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 24121 TO ITERATE

8.3% PROCESSED 2000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 473127 TO 491713
PROJECTED ANSWERS: 33 TO 449

L7 1 SEA SSS SAM L6

=> s l6 full

FULL SEARCH INITIATED 15:12:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 483375 TO ITERATE

98.7% PROCESSED 477221 ITERATIONS 342 ANSWERS
100.0% PROCESSED 483375 ITERATIONS 342 ANSWERS
SEARCH TIME: 00.00.22

L8 342 SEA SSS FUL L6

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	352.75	352.96

FILE 'CAPLUS' ENTERED AT 15:13:23 ON 05 JAN 2007
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FILE LAST UPDATED: 4 Jan 2007 (20070104/ED)

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=> s l8

L9 7 L8

=> d ibib abs tot

L9 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1009710 CAPLUS Full-text

DOCUMENT NUMBER: 145:377211

TITLE: Preparation of 2,5-dihydropyrrole compound containing piperidine moiety as mitotic kinesin inhibitor

INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.; Hartman, George D.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 98pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2006101780	A1	20060928	WO 2006-US8674	20060310
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2005-662519P P 20050316

OTHER SOURCE(S): MARPAT 145:377211

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [p = 0-3; q = 0-2; R1 = aryl, heterocyclyl, alkyl, etc.; said aryl, heterocyclyl and alkyl is optionally substituted with halo, CN, OH, etc.; R2 = halo, CN, OH, etc.; R3 = H, alkyl, aryl, etc.; said alkyl and aryl is optionally substituted with halo, CN, OH, etc.; R5 = H, alkyl, aryl, etc.; said alkyl and aryl is optionally substituted with halo, CN, OH, etc.; R6 = H, halo, CN, etc.; W = bond, C:O, C:S, etc.; provided that at least one silicon atom is present in the compd., and further provided that -W-R5 is not -alkyl-O-Si(alkyl)3.], pharmaceutically acceptable salts or stereoisomers thereof were prepd. For example, Pd/C catalyzed de-benzyloxycarbonylation of compd. II [R = tert-butyldimethylsilyl; R' = benzyloxycarbonyl], e.g., prepd. from benzyl 4-oxo-1-piperidinecarboxylate in 7 steps, followed by treatment with trifluoroacetic acid and reaction with 3-chloropropyltrimethylsilane afforded compd. II [R = H; R' = 3-trimethylsilylpropyl]. In kinesin ATPase in vitro assays, compd. II [R = H; R' = 3-trimethylsilylpropyl] exhibited the IC50 value of .ltoreq.50 .mu.M. Compds. I are claimed useful for the treatment of brain cancer, stomach cancer, etc.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1171050 CAPLUS Full-text

DOCUMENT NUMBER: 143:440255

TITLE: A process for the preparation of 2,2-disubstituted pyrroles

INVENTOR(S): Javadi, Gary; Karady, Sandor; Maeda, Kenji; Miller, Ross A.; Szumigala, Ronald H.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005102996	A2	20051103	WO 2005-US13630	20050415
WO 2005102996	A3	20060119		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

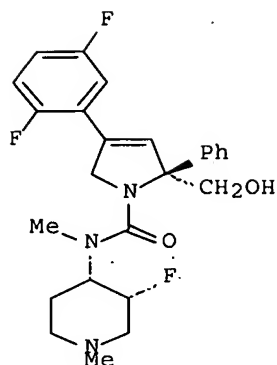
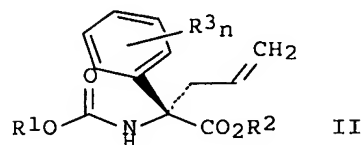
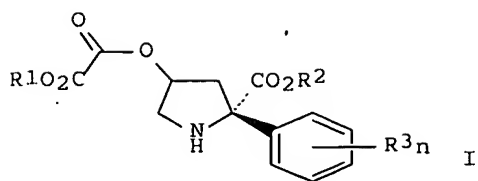
AU 2005236066 A1 20051103 AU 2005-236066 20050415

PRIORITY APPLN. INFO.: US 2004-563583P P 20040419

WO 2005-US13630 W 20050415

OTHER SOURCE(S): MARPAT 143:440255

GI



AB A process for the prepn. of title compds. of formula I [R1, R2 = independently (un)substituted (cyclo)alkyl, aryl or heterocyclyl; R3 = H, halo, cyano, hydroxy, etc.; n = 1 or 2] comprising reacting a compd. of formula II (R1-R3 and n are defined as above) with a halogenating agent in an aq. solvent is disclosed. For example, III was provided in a multi-step synthesis starting from (R)-2-phenylglycine. The crystal structure of (3R,4S)-3-fluoro-N,1-dimethylpiperidin-4-amine.bul.2HCl was also obtained. I are useful as intermediates in the prepn. of 2,2,4-trisubstituted 2,5-dihydropyrroles, that are inhibitors of mitotic kinesin (no data).

L9 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:182653 CAPLUS Full-text
 DOCUMENT NUMBER: 142:280064
 TITLE: Preparation of dihydropyrrolecarboxamides as mitotic kinesin inhibitors for treating cancer
 INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.; Garbaccio, Robert M.; Hartman, George D.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 187 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019206	A1	20050303	WO 2004-US26012	20040811
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005043357	A1	20050224	US 2004-915743	20040811
AU 2004266232	A1	20050303	AU 2004-266232	20040811

CA 2534065	A1	20050303	CA 2004-2534065	20040811
EP 1664026	A1	20060607	EP 2004-780791	20040811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1839128	A	20060927	CN 2004-80023309	20040811
BR 2004013580	A	20061017	BR 2004-13580	20040811
US 2006234984	A1	20061019	US 2006-567676	20060209
NO 2006001194	A	20060505	NO 2006-1194	20060314

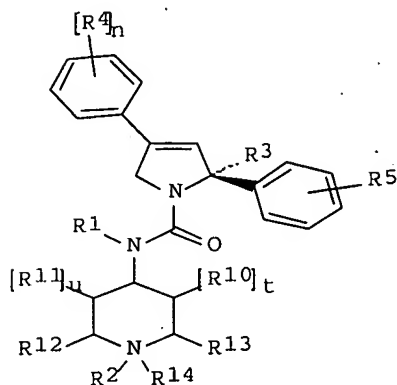
PRIORITY APPLN. INFO.:

US 2003-495637P	P	20030815
US 2004-563580P	P	20040419
US 2003-512680P	P	20031020
US 2004-563586P	P	20040419
WO 2004-US25980	W	20040811
WO 2004-US26012	W	20040811

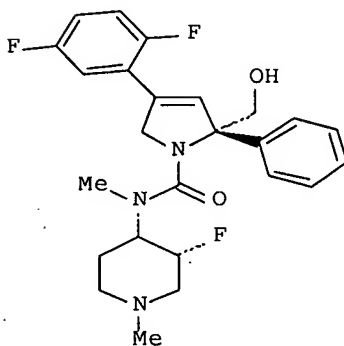
OTHER SOURCE(S):

MARPAT 142:280064

GI



I



II

AB The present invention relates to dihydropyrrole compds. I [R1, R2 = H, alkyl, aryl, etc.; R3 = H, alkyl, CH2OH, etc.; R4 = CO2H, halo, CN, etc.; R5 = H, halo, CN, etc.; R10, R11 = F, CH2F; R12, R13 = H, CH2F; R14 = absent, oxo; n = 0-3; t = 0-2; u = 0-1] that are useful for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. E.g., a multi-step synthesis of II, which showed an IC50 of .ltoreq. 50 .mu.M in kinesin ATPase in vitro assay, was given. The invention is also related to compns. which comprise these compds. I, and methods of using them to treat cancer in mammals.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:177831 CAPLUS Full-text

DOCUMENT NUMBER: 142:280071

TITLE: Preparation of dihydropyrrolecarboxamides as mitotic kinesin inhibitors for treating cancer

INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 177 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005018547	A2	20050303	WO 2004-US25964	20040811
WO 2005018547	A3	20050915		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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CA 2533889	A1	20050303	CA 2004-2533889	20040811
EP 1656146	A2	20060517	EP 2004-780749	20040811
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CN 1835756	A	20060920	CN 2004-80023307	20040811
PRIORITY APPLN. INFO.:			US 2003-495735P	P 20030815
			WO 2004-US25964	W 20040811
OTHER SOURCE(S):		MARPAT 142:280071		
GI				

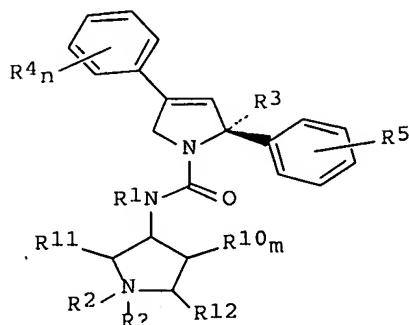
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to dihydropyrrole compds. I [R1, R2 = H, alkyl, aryl, etc.; R3 = H, alkyl, CH2OH, etc.; R4 = CO2H, halo, CN, etc.; R5 = H, halo, CN, etc.; R10 = H, F; R11, R12 = F, CH2F; R13, R14 = H, CH2F; R15 = absent, oxo; n = 0-3; t, u = 0-2] that are useful for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. E.g., a multi-step synthesis of a mixt. of II and III, which showed an IC50 of .ltoreq. 50 .mu.M in kinesin ATPase in vitro assay, was given. Over 260 compds. I were claimed. The invention is also related to compns. which comprise these compds. I, and methods of using them to treat cancer in mammals.

L9 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:158826 CAPLUS Full-text
DOCUMENT NUMBER: 142:261392
TITLE: Preparation of pyrrole derivatives as mitotic kinesin inhibitors
INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005017190	A2	20050224	WO 2004-US26242	20040811
WO 2005017190	A3	20051215		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2004264533	A1	20050224	AU 2004-264533	20040811
CA 2534729	A1	20050224	CA 2004-2534729	20040811
EP 1656133	A2	20060517	EP 2004-780997	20040811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1835746	A	20060920	CN 2004-80023308	20040811
US 2006287302	A1	20061221	US 2006-568000	20060210
PRIORITY APPLN. INFO.:			US 2003-495466P	P 20030815
			WO 2004-US26242	W 20040811
OTHER SOURCE(S):		MARPAT 142:261392		
GI				



AB Title compds. represented by the formula I [wherein R1, R2 = independently H, (un)substituted (cyclo)alkyl, aryl, heterocyclyl; R3 = H, alkyl(hydroxy), alkenyloxyalkyl, etc.; R4 = independently (carbonyl)(oxy)alkyl, carboxy, OH, etc.; R5 = H, halo, CN, etc.; R10 = F or CH2F; R11, R12 = independently H or CH2F; Rx = absent or oxo; m = 0-2; n = 0-3; and pharmaceutically acceptable salts or stereoisomers thereof] were prepd. as mitotic kinesin inhibitors (no data). For example, I (R1 = R2 = Me, R3 = CH2OH, R4 = 2,4-F2, R5 = R10 = R12 = H, R11 = F, Rx = absent, n = 0) was given in a multi-step synthesis starting from .alpha.-allyl-.alpha.-phenylglycine Et ester. The title compds. and their pharmaceutical compns. are useful as mitotic kinesin inhibitors, esp. KSP kinesin inhibitors, for the treatment of cellular proliferative diseases and disorders assocd. with KSP kinesin activity, such as cancer in mammals (no data).

ACCESSION NUMBER: 2005:140806 CAPLUS Full-text
 DOCUMENT NUMBER: 142:240324
 TITLE: A preparation of pyrrolicarboxamide derivatives,
 useful as mitotic kinesin inhibitors
 INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.; Garbaccio,
 Robert M.; Hartman, George D.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 52 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

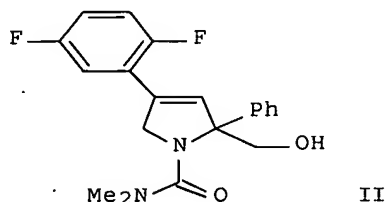
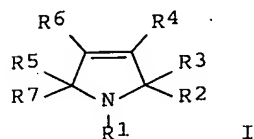
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005038074	A1	20050217	US 2004-916096	20040811
WO 2005019205	A1	20050303	WO 2004-US25980	20040811
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BR 2004013580	A	20061017	BR 2004-13580	20040811
NO 2006001194	A	20060505	NO 2006-1194	20060314
PRIORITY APPLN. INFO.:			US 2003-495637P	P 20030815
			US 2003-512680P	P 20031020
			US 2004-563586P	P 20040419
			WO 2004-US25980	W 20040811
OTHER SOURCE(S):		CASREACT 142:240324; MARPAT 142:240324		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a prepn. of pyrrolicarboxamide derivs. of formula I
 [wherein: R1 is H, alkyl, aryl, or heterocyclyl, etc.; R2 is 4-piperidinyl
 deriv.; R3 is H, alkyl, alkdiyl-OH, alkdiyl-O-alkyl, or alk(en/yn)diyl-C(O)-
 NH2, etc.; R4 is CO2H, halogen, CN, or OH, etc.; R5 is H, CO2H, CN, halogen,
 or OP(:O)(OH)2, etc.], useful for treating cellular proliferative diseases,
 for treating disorders assocd. with KSP kinesin activity, and for inhibiting
 KSP kinesin. The invention is also related to compns. which comprise these
 compds., and methods of using them to treat cancer in mammals. For instance,
 pyrrolicarboxamide deriv. II (kinesin ATPase in vitro assay: IC50 < 50 .mu.M)
 was prepd. via amidation of carbamoyl chloride III by amine IV (conversion of
 III to the product was >98%).

ACCESSION NUMBER: 2004:368866 CAPLUS Full-text
 DOCUMENT NUMBER: 140:391193
 TITLE: Preparation of dihydropyrroles as mitotic kinesin inhibitors for treating cellular proliferative diseases
 INVENTOR(S): Breslin, Michael J.; Coleman, Paul J.; Cox, Christopher D.; Hartman, George D.; Mariano, Brenda J.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 178 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037171	A2	20040506	WO 2003-US32405	20031014
WO 2004037171	A3	20040708		
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AB Title compds. I [wherein R1 = (un)substituted acyl(alkyl), carbamoyl(alkyl), sulfamoyl(alkyl), aryl, heterocyclyl, alkyl, etc.; R2 and R6 = independently (un)substituted aryl(alkyl), cycloalkyl, or heterocyclyl; R3 = (un)substituted alkoxyalk(en/yn)yl, carbamoylalk(en/yn)yl, alkylsulfonalk(en/yn)yl, etc.];

R4, R5, and R7 = independently H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, perfluoroalkyl, arylalkyl, or heterocyclyl; or R5 and R7 are combined to form an oxo or sulfoxo; or pharmaceutically acceptable salt of stereoisomer thereof] were prepd. for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. The invention is also related to compns. which comprise these compds., and methods of using them to treat cancer (no data). For instance, palladium catalyzed Suzuki coupling of 7a-phenyldihydro-1H-pyrrolo[1,2-c][1,3]oxazole-3,6(5H)-dione (multi-step prepn. given) and 2,5-difluorophenylboronic acid afforded 6-(2,5-difluorophenyl)-7a-phenyl-5,7a-dihydro-1H-pyrrolo[1,2-c][1,3]oxazol-3-one. The pyrrolooxazolone was treated with NaOH in EtOH to give the (hydroxymethyl)pyrrole, which was O-protected with tert-butyldimethylsilyl chloride. Reaction of the pyrrole with triphosgene and dimethylamine, followed by deprotection using triethylamine trihydrofluoride in MeCN provided II. In a kinesin ATPase assay using a human KSP motor domain construct and microtubules from bovine brain tubulin, example compds. inhibited the ATPase hydrolysis reaction with IC50 .ltoreq. 50 .mu.M.

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	32.97	385.93

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-5.46	-5.46

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 DICTIONARY FILE UPDATES: 4 JAN 2007 HIGHEST RN 916790-89-1

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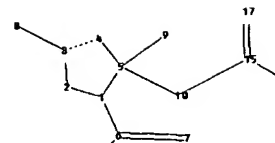
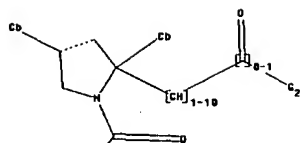
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chain nodes :

6 7 8 9 10 13 15 16 17

ring nodes :

1 2 3 4 5

chain bonds :

1-6 3-8 5-9 5-10 6-7 6-13 10-15 15-16 15-17

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 1-6 2-3 3-4 4-5 6-7 6-13 15-16 15-17

exact bonds :

3-8 5-9 5-10 10-15

G1:C,N

G2:O,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:Atom 10:CLASS

13:CLASS 15:CLASS 16:CLASS 17:CLASS

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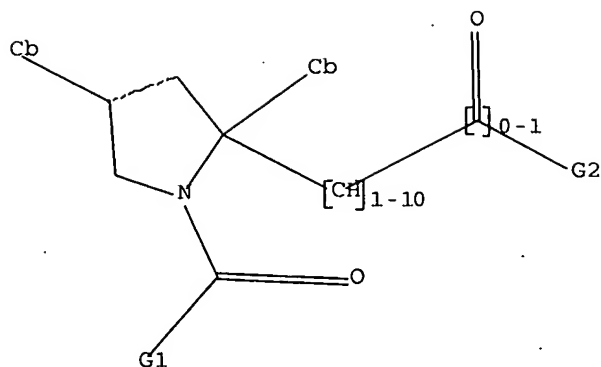
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L10 HAS NO ANSWERS

L10 STR



G1 C,N
G2 O,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 26448 TO ITERATE

7.6% PROCESSED 2000 ITERATIONS 3 ANSWERS
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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 519232 TO 538688
PROJECTED ANSWERS: 416 TO 1170

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FULL SEARCH INITIATED 15:30:57 FILE 'REGISTRY'
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SEARCH TIME: 00.00.22

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COST IN U.S. DOLLARS

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ENTRY	SESSION
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FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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FILE LAST UPDATED: 4 Jan 2007 (20070104/ED)

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L13 7 L12

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L13 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1009710 CAPLUS Full-text
DOCUMENT NUMBER: 145:377211
TITLE: Preparation of 2,5-dihydropyrrole compound containing piperidine moiety as mitotic kinesin inhibitor
INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.; Hartman, George D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 98pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006101780	A1	20060928	WO 2006-US8674	20060310
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2005-662519P P 20050316
OTHER SOURCE(S): MARPAT 145:377211
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [p = 0-3; q = 0-2; R1 = aryl, heterocyclyl, alkyl, etc.; said aryl, heterocyclyl and alkyl is optionally substituted with halo, CN, OH, etc.; R2 = halo, CN, OH, etc.; R3 = H, alkyl, aryl, etc.; said alkyl and aryl is optionally substituted with halo, CN, OH, etc.; R5 = H, alkyl, aryl, etc.; said alkyl and aryl is optionally substituted with halo, CN, OH, etc.; R6 = H, halo, CN, etc.; W = bond, C:O, C:S, etc.; provided that at least one silicon atom is present in the compd., and further provided that -W-R5 is not -alkyl-O-Si(alkyl)3.], pharmaceutically acceptable salts or stereoisomers thereof were prepd. For example, Pd/C catalyzed de-benzyloxycarbonylation of compd. II [R = tert-butyldimethylsilyl; R' = benzyloxycarbonyl], e.g., prepd. from benzyl 4-oxo-1-piperidinecarboxylate in 7 steps, followed by treatment with trifluoroacetic acid and reaction with 3-chloropropyltrimethylsilane afforded compd. II [R = H; R' = 3-trimethylsilylpropyl]. In kinesin ATPase in vitro assays, compd. II [R = H; R' = 3-trimethylsilylpropyl] exhibited the IC50 value of .ltoreq.50 .mu.M. Compds. I are claimed useful for the treatment of brain cancer, stomach cancer, etc.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1171050 CAPLUS Full-text

DOCUMENT NUMBER: 143:440255

TITLE: A process for the preparation of 2,2-disubstituted pyrroles

INVENTOR(S): Javadi, Gary; Karady, Sandor; Maeda, Kenji; Miller, Ross A.; Szumigala, Ronald H.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

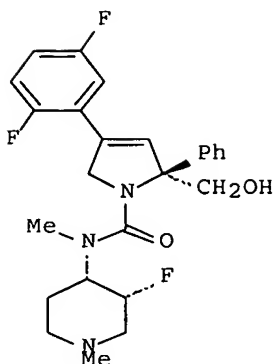
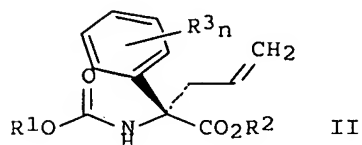
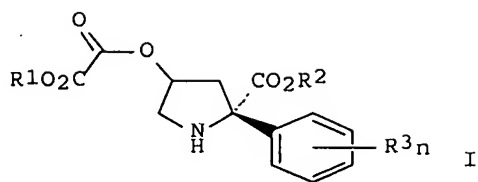
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005102996	A3	20060119		
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PRIORITY APPLN. INFO.:			US 2004-563583P	P 20040419
			WO 2005-US13630	W 20050415
OTHER SOURCE(S):	MARPAT 143:440255			

GI



AB A process for the prepn. of title compds. of formula I [R1, R2 = independently (un)substituted (cyclo)alkyl, aryl or heterocyclyl; R3 = H, halo, cyano, hydroxy, etc.; n = 1 or 2] comprising reacting a compd. of formula II (R1-R3 and n are defined as above) with a halogenating agent in an aq. solvent is disclosed. For example, III was provided in a multi-step synthesis starting from (R)-2-phenylglycine. The crystal structure of (3R,4S)-3-fluoro-N,1-dimethylpiperidin-4-amine.bul.2HCl was also obtained. I are useful as intermediates in the prepn. of 2,2,4-trisubstituted 2,5-dihydropyrroles, that are inhibitors of mitotic kinesin (no data).

L13 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:182653 CAPLUS Full-text

DOCUMENT NUMBER: 142:280064

TITLE: Preparation of dihydropyrrolecarboxamides as mitotic kinesin inhibitors for treating cancer

INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.; Garbaccio, Robert M.; Hartman, George D.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 187 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

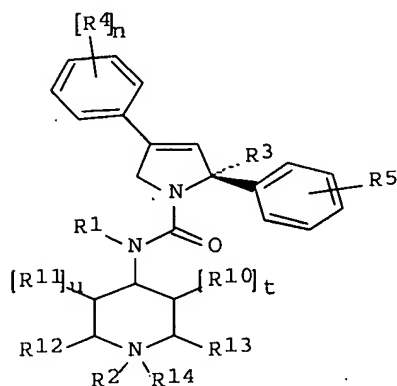
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

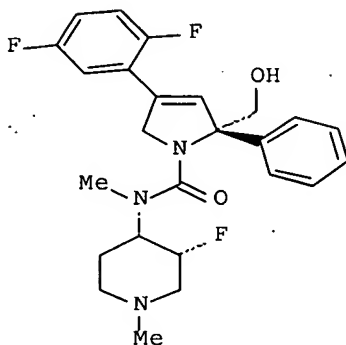
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019206	A1	20050303	WO 2004-US26012	20040811
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SN, TD, TG				
US 2005043357	A1	20050224	US 2004-915743	20040811
AU 2004266232	A1	20050303	AU 2004-266232	20040811
CA 2534065	A1	20050303	CA 2004-2534065	20040811
EP 1664026	A1	20060607	EP 2004-780791	20040811
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CN 1839128	A	20060927	CN 2004-80023309	20040811
BR 2004013580	A	20061017	BR 2004-13580	20040811
US 2006234984	A1	20061019	US 2006-567676	20060209
NO 2006001194	A	20060505	NO 2006-1194	20060314
PRIORITY APPLN. INFO.:			US 2003-495637P	P 20030815
			US 2004-563580P	P 20040419
			US 2003-512680P	P 20031020
			US 2004-563586P	P 20040419
			WO 2004-US25980	W 20040811
			WO 2004-US26012	W 20040811
OTHER SOURCE(S):			MARPAT 142:280064	
GI				



I



II

AB The present invention relates to dihydropyrrole compds. I [R1, R2 = H, alkyl, aryl, etc.; R3 = H, alkyl, CH2OH, etc.; R4 = CO2H, halo, CN, etc.; R5 = H, halo, CN, etc.; R10, R11 = F, CH2F; R12, R13 = H, CH2F; R14 = absent, oxo; n = 0-3; t = 0-2; u = 0-1] that are useful for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. E.g., a multi-step synthesis of II, which showed an IC50 of .ltoreq. 50 .mu.M in kinesin ATPase in vitro assay, was given. The invention is also related to compns. which comprise these compds. I, and methods of using them to treat cancer in mammals.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:177831 CAPLUS Full-text

DOCUMENT NUMBER: 142:280071

TITLE: Preparation of dihydropyrrolecarboxamides as mitotic kinesin inhibitors for treating cancer

INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 177 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005018547	A2	20050303	WO 2004-US25964	20040811
WO 2005018547	A3	20050915		
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AU 2004266629	A1	20050303	AU 2004-266629	20040811
CA 2533889	A1	20050303	CA 2004-2533889	20040811
EP 1656146	A2	20060517	EP 2004-780749	20040811
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CN 1835756	A	20060920	CN 2004-80023307	20040811
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OTHER SOURCE(S):			MARPAT 142:280071	
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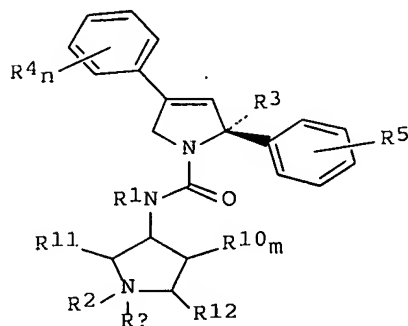
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to dihydropyrrole compds. I [R1, R2 = H, alkyl, aryl, etc.; R3 = H, alkyl, CH2OH, etc.; R4 = CO2H, halo, CN, etc.; R5 = H, halo, CN, etc.; R10 = H, F; R11, R12 = F, CH2F; R13, R14 = H, CH2F; R15 = absent, oxo; n = 0-3; t, u = 0-2] that are useful for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. E.g., a multi-step synthesis of a mixt. of II and III, which showed an IC50 of .ltoreq. 50 .mu.M in kinesin ATPase in vitro assay, was given. Over 260 compds. I were claimed. The invention is also related to compns. which comprise these compds. I, and methods of using them to treat cancer in mammals.

L13 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:158826 CAPLUS Full-text
 DOCUMENT NUMBER: 142:261392
 TITLE: Preparation of pyrrole derivatives as mitotic kinesin inhibitors
 INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 98 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005017190	A2	20050224	WO 2004-US26242	20040811
WO 2005017190	A3	20051215		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004264533	A1	20050224	AU 2004-264533	20040811
CA 2534729	A1	20050224	CA 2004-2534729	20040811
EP 1656133	A2	20060517	EP 2004-780997	20040811
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CN 1835746	A	20060920	CN 2004-80023308	20040811
US 2006287302	A1	20061221	US 2006-568000	20060210
PRIORITY APPLN. INFO.:			US 2003-495466P	P 20030815
			WO 2004-US26242	W 20040811
OTHER SOURCE(S):		MARPAT 142:261392		
GI				



I

AB Title compds. represented by the formula I [wherein R1, R2 = independently H, (un)substituted (cyclo)alkyl, aryl, heterocyclyl; R3 = H, alkyl(hydroxy), alkenyloxyalkyl, etc.; R4 = independently (carbonyl)(oxy)alkyl, carboxy, OH, etc.; R5 = H, halo, CN, etc.; R10 = F or CH2F; R11, R12 = independently H or CH2F; Rx = absent or oxo; m = 0-2; n = 0-3; and pharmaceutically acceptable salts or stereoisomers thereof] were prep'd. as mitotic kinesin inhibitors (no data). For example, I (R1 = R2 = Me, R3 = CH2OH, R4 = 2,4-F2, R5 = R10 = R12 = H, R11 = F, Rx = absent, n = 0) was given in a multi-step synthesis starting from .alpha.-allyl-.alpha.-phenylglycine Et ester. The title compds. and their pharmaceutical compns. are useful as mitotic kinesin inhibitors, esp.

KSP kinesin inhibitors, for the treatment of cellular proliferative diseases and disorders assocd. with KSP kinesin activity, such as cancer in mammals (no data).

L13 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:140806 CAPLUS Full-text

DOCUMENT NUMBER: 142:240324

TITLE: A preparation of pyrrolicarboxamide derivatives, useful as mitotic kinesin inhibitors

INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.; Garbaccio, Robert M.; Hartman, George D.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 52 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005038074	A1	20050217	US 2004-916096	20040811
WO 2005019205	A1	20050303	WO 2004-US25980	20040811
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BR 2004013580	A	20061017	BR 2004-13580	20040811
NO 2006001194	A	20060505	NO 2006-1194	20060314
PRIORITY APPLN. INFO.:			US 2003-495637P	P 20030815
			US 2003-512680P	P 20031020
			US 2004-563586P	P 20040419
			WO 2004-US25980	W 20040811
OTHER SOURCE(S):	CASREACT 142:240324; MARPAT 142:240324			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

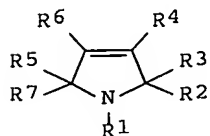
AB The invention relates to a prepn. of pyrrolicarboxamide derivs. of formula I [wherein: R1 is H, alkyl, aryl, or heterocyclyl, etc.; R2 is 4-piperidinyl deriv.; R3 is H, alkyl, alkdiyl-OH, alkdiyl-O-alkyl, or alk(en/yn)diyl-C(O)-NH2, etc.; R4 is CO2H, halogen, CN, or OH, etc.; R5 is H, CO2H, CN, halogen, or OP(:O)(OH)2, etc.], useful for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. The invention is also related to compns. which comprise these compds., and methods of using them to treat cancer in mammals. For instance, pyrrolicarboxamide deriv. II (kinesin ATPase in vitro assay: IC50 < 50 .mu.M) was prepd. via amidation of carbamoyl chloride III by amine IV (conversion of III to the product was >98%).

Current app1

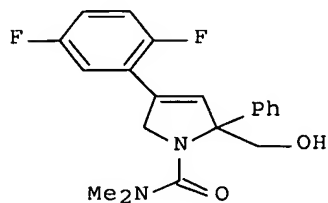
L13 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:368866 CAPLUS Full-text
DOCUMENT NUMBER: 140:391193
TITLE: Preparation of dihydropyrroles as mitotic kinesin inhibitors for treating cellular proliferative diseases
INVENTOR(S): Breslin, Michael J.; Coleman, Paul J.; Cox, Christopher D.; Hartman, George D.; Mariano, Brenda J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037171	A2	20040506	WO 2003-US32405	20031014
WO 2004037171	A3	20040708		
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CA 2500848	A1	20040506	CA 2003-2500848	20031014
AU 2003287057	A1	20040513	AU 2003-287057	20031014
EP 1556052	A2	20050727	EP 2003-777578	20031014
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006506456	T	20060223	JP 2005-501618	20031014
US 2006100191	A1	20060511	US 2005-531495	20050415
PRIORITY APPLN. INFO.:			US 2002-419570P	P 20021018
			US 2003-479712P	P 20030619
			WO 2003-US32405	W 20031014

OTHER SOURCE(S): MARPAT 140:391193
GI



I



II

AB Title compds. I [wherein R1 = (un)substituted acyl(alkyl), carbamoyl(alkyl), sulfamoyl(alkyl), aryl, heterocyclyl, alkyl, etc.; R2 and R6 = independently (un)substituted aryl(alkyl), cycloalkyl, or heterocyclyl; R3 = (un)substituted alkoxyalk(en/yn)yl, carbamoylalk(en/yn)yl, alkylsulfonylalk(en/yn)yl, etc.; R4, R5, and R7 = independently H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, perfluoroalkyl, arylalkyl, or heterocyclyl; or R5 and R7 are combined to form an oxo or sulfoxo; or pharmaceutically acceptable salt of stereoisomer thereof] were prepd. for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. The invention is also related to compns. which comprise these compds., and methods of using them to treat cancer (no data). For instance, palladium catalyzed Suzuki coupling of 7a-phenyldihydro-1H-pyrrolo[1,2-c][1,3]oxazole-3,6(5H)-dione (multi-step prepn. given) and 2,5-difluorophenylboronic acid afforded 6-(2,5-difluorophenyl)-7a-phenyl-5,7a-dihydro-1H-pyrrolo[1,2-c][1,3]oxazol-3-one. The pyrrolooxazolone was treated with NaOH in EtOH to give the (hydroxymethyl)pyrrole, which was O-protected with tert-butyldimethylsilyl chloride. Reaction of the pyrrole with triphosgene and dimethylamine, followed by deprotection using triethylamine trihydrofluoride in MeCN provided II. In a kinesin ATPase assay using a human KSP motor domain construct and microtubules from bovine brain tubulin, example compds. inhibited the ATPase hydrolysis reaction with IC50 .ltoreq. 50 .mu.M.

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

24.04

582.52

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

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DICTIONARY FILE UPDATES: 4 JAN 2007 HIGHEST RN 916790-89-1

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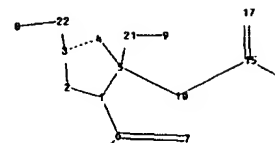
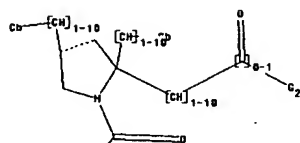
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<http://www.cas.org/ONLINE/UG/regprops.html>

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6 7 8 9 10 13 15 16 17 21 22

ring nodes :

1 2 3 4 5

chain bonds :

1-6 3-22 5-10 5-21 6-7 6-13 8-22 9-21 10-15 15-16 15-17

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 1-6 2-3 3-4 4-5 6-7 6-13 15-16 15-17

exact bonds :

3-22 5-10 5-21 8-22 9-21 10-15

G1:C,N

G2:O,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:Atom 10:CLASS
13:CLASS 15:CLASS 16:CLASS 17:CLASS 21:CLASS 22:CLASS

Generic attributes :

8:

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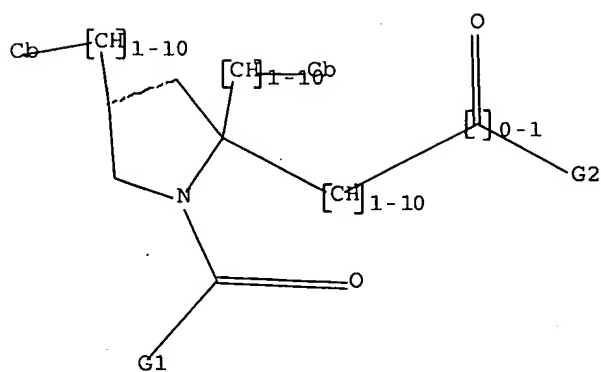
Saturation : Unsaturated

L14 STRUCTURE UPLOADED

=> d

L14 HAS NO ANSWERS

L14 STR



G1 C,N
G2 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l14

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SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

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PROJECTED ANSWERS: 0 TO 0

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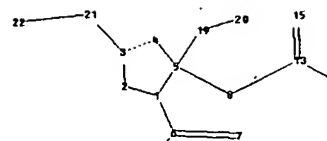
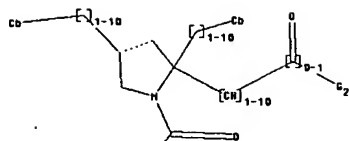
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0 ANSWERS

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chain nodes :

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ring nodes :

1 2 3 4 5

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ring bonds :

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exact/norm bonds :

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exact bonds :

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G1:C,N

G2:O,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 11:CLASS

13:CLASS

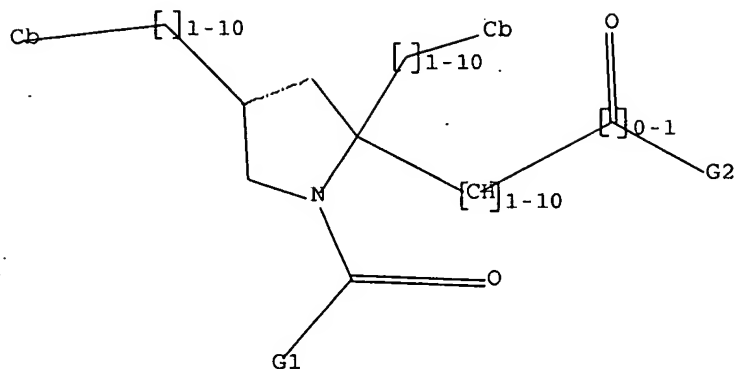
14:CLASS 15:CLASS 19:CLASS 20:Atom 21:CLASS 22:Atom

L17 STRUCTURE UPLOADED

=> d

L17 HAS NO ANSWERS

L17 STR



G1 C,N
G2 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l17

SAMPLE SEARCH INITIATED 15:40:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 901 TO ITERATE

100.0% PROCESSED 901 ITERATIONS
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 16220 TO 19820
PROJECTED ANSWERS: 0 TO 0

L18 0 SEA SSS SAM L17

=> s l17 full

FULL SEARCH INITIATED 15:40:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 17532 TO ITERATE

100.0% PROCESSED 17532 ITERATIONS
SEARCH TIME: 00.00.01

0 ANSWERS

L19 0 SEA SSS FUL L17

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS

SINCE FILE
ENTRY

TOTAL
SESSION

FULL ESTIMATED COST	346.45	928.97
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-10.92

STN INTERNATIONAL LOGOFF AT 15:41:13 ON 05 JAN 2007